Connecting via Winsock to STN

```
Welcome to STN International! Enter x:x
```

LOGINID:ssspta1613sxw

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
                Source of Registration (SR) information in REGISTRY updated
NEWS
         JAN 27
                 and searchable
                A new search aid, the Company Name Thesaurus, available in
NEWS
         JAN 27
                 CA/CAplus
                German (DE) application and patent publication number format
NEWS
     5
         FEB 05
                 changes
                MEDLINE and LMEDLINE reloaded
NEWS
     6
        MAR 03
                MEDLINE file segment of TOXCENTER reloaded
NEWS 7
        MAR 03
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
                PROMT: New display field available
NEWS 13 APR 26
NEWS 14
                IFIPAT/IFIUDB/IFICDB: New super search and display field
        APR 26
                 available
                LITALERT now available on STN
NEWS 15
        APR 26
       APR 27 NLDB: New search and display fields available
NEWS 16
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
              General Internet Information
NEWS INTER
NEWS LOGIN
              Welcome Banner and News Items
             Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
             CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 09:52:00 ON 30 APR 2004

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:52:12 ON 30 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 APR 2004 HIGHEST RN 677701-51-8 DICTIONARY FILE UPDATES: 28 APR 2004 HIGHEST RN 677701-51-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

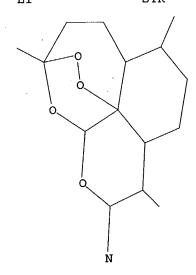
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\09743827b.str

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 09:52:28 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

3 ITERATIONS

BATCH

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE** **COMPLETE**

PROJECTED ITERATIONS:

3 TO

PROJECTED ANSWERS:

1 TO 80

L2

1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:52:32 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED

85 ITERATIONS

34 ANSWERS

SEARCH TIME: 00.00.01

L3

34 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42 155.63

FILE 'CAPLUS' ENTERED AT 09:52:35 ON 30 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 30 Apr 2004 VOL 140 ISS 19 (20040429/ED) FILE LAST UPDATED: 29 Apr 2004

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 full

4 L3

=> d l4 1-4 ibib abs hitstr

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:105791 CAPLUS

DOCUMENT NUMBER:

136:118602

TITLE:

Preparation of arteannuin derivatives containing

azacyclic radical

INVENTOR(S):

Li, Ying; Liao, Xibin

PATENT ASSIGNEE(S):

Shanghai Inst. of Pharmaceutics, Chinese Academy of

Sciences, Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 15 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIN	D DATE	APPLICATION NO.	DATE
				-
CN 1296009	Α	20010523	CN 1999-124012	19991112
CN 1105722	В	20030416		
PRIORITY APPLN. INFO.	:	CN	1999-124012	19991112
OTHER SOURCE(S):	4	CASREACT 136:11860	02; MARPAT 136:11	8602
GI				

Me Me
$$O-O$$
 Me $O-O$ Me $O-O$

AΒ Compds. I, II, III (Het = triazole, benzotriazole, benzimidazole, indole, or their derivs. substituted by carboxyl, ester group, acyl, alkoxy, C1-3 alkyl, hydroxy, or hydroxymethyl; X = -OCO-, -OCH2-, -OCH2CH2-, -OCH2CH(OH)CH2-) are claimed. Title compound were synthesized by the condensation of either acetyldihydroarteannuin or (trichloroacetyl)dihydroarteannuin or methylenearteannuin or dihydroarteannuin or arteannuin 2-bromoethyl ether or arteannuin 2,3-epoxypropyl ether with nitrogen heterocyclic compound in the presence of acidic catalyst or alkaline compds or DCC, giving product with 12% to 61%

yield. Thus, dihydroarteannuin dissolved in methylenechloride, adding trifluoroacetic acid anhydrate, reacted under 0-5°, forming dihydroarteannuin trifluoroacetate, adding 1,2,4-triazole, using the TLC follow the reaction, after the workup, giving the triazole substituted dihydroarteannuin, with yield 12-20%. Title compds. are of antimalarial, antitumor, immunoregulatory, and anti-inflammatory activity.

IT 390800-25-6P 390800-26-7P 390800-31-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

(prepn of arteannuin derivative containing azacyclic group)

RN 390800-25-6 CAPLUS

CN 1H-1,2,4-Triazole, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 390800-26-7 CAPLUS

CN 4H-1,2,4-Triazole, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 390800-31-4 CAPLUS

CN 2H-Benzotriazole, 2-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

IT 390800-24-5P 390800-27-8P 390800-28-9P 390800-29-0P 390800-30-3P 390800-32-5P

390800-33-6P 390800-34-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn of arteannuin derivative containing azacyclic group)

RN 390800-24-5 CAPLUS

CN 1H-1,2,4-Triazole, 1-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 390800-27-8 CAPLUS

CN 1H-Benzimidazole, 1-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 390800-28-9 CAPLUS

CN 1H-Benzimidazole, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 390800-29-0 CAPLUS

CN 1H-Benzotriazole, 1-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 390800-30-3 CAPLUS

CN 1H-Benzotriazole, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 390800-32-5 CAPLUS

CN 1H-Benzotriazole-6-carboxylic acid, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 390800-33-6 CAPLUS

CN 1H-Benzotriazole-6-carboxylic acid, 1-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 390800-34-7 CAPLUS

CN 1H-Benzotriazole, 1-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-6-methyl-(9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:68461 CAPLUS

DOCUMENT NUMBER:

132:108120

TITLE:

Preparation of artemisinin derivatives for use as

antitumor agents

INVENTOR(S):

Haynes, Richard Kingston; Chan, Ho-Wai; Lam, Wai-Lun;

Tsang, Hing-Wo; Hsiao, Wen-Luan

PATENT ASSIGNEE(S):

Hong Kong University of Science and Technology, Peop.

Rep. China; Wallace, Sheila Jane

SOURCE:

PCT Int. Appl., 152 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

				KIND DATE										DATE			
	A1 20000127									19990714							
W: A	AE, AL,	AM, AT	, AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,			
I	DE, DK,	EE, ES	, FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,			
ت	JP, KE,	KG, KP	, KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,			
N	MN, MW,	MX, NO	, NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,			
7	TM, TR,	TT, UA	, UG,	US,	UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,			
M	MD, RU,	TJ, TM		-													
RW: C	GH, GM,	KE, LS	, MW,	SD,	SL,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,			
F	ES, FI,	FR, GB	, GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,			
C	CI, CM,	GA, GN	, GW,	ML,	MR,	NE,	SN,	TD,	TG								
AU 9949224		A1 20000207					AU 1999-49224				19990714						
EP 109504	43	A1 20010502				EP 1999-933049				•	19990714						
R: #	AT, BE,	CH, DE	, DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
1	IE, SI,	LT, LV	, FI,	RO													
US 664964	47	B1	2003	1118	US 2002-743860 2						20020415						
PRIORITY APPLN	N. INFO.	:			I	EP 1998-305593 A			Α	19980714							
					I	EP 19	998-3	30828	33	Α	19981	L012					
•					V	VO 1	999-0	3B227	76	W	19990	714					
OTHER SOURCE (S	3):	MA	RPAT	132:	10812	20											

Artemisinin derivs. I [X = H, amino, alkyl, aryl; Y = H, OH, oxo, halogen, aryl, cycloalkyl, heteroaryl, amino, acyl, aryloxy, etc.; Z = O, imino], which containing a trioxane moiety and have cancer cell cytotoxicity, were prepared for use in the treatment of cancer. Some of these compds. comprise a ligand which is capable of binding to a nucleic acid and a group containing a trioxane moiety which is capable of acting as source of free radicals which are capable of chemical interacting with a nucleic acid. Thus, II was prepared in 50.5% yield by fluorination of 10ξ-dihydroartemisinin using diethylaminosulfur trifluoride (DAST) in CH2Cl2. The prepared compds. were tested for cytotoxicity against R6 and R6T24 cancer cell lines.

TT 255730-17-7P 255730-31-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of artemisinin derivs. for use as antitumor agents)

RN 255730-17-7 CAPLUS

CN Thiomorpholine, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255730-31-5 CAPLUS
CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 255730-18-8P 255730-33-7P 255730-47-3P 255730-49-5P 255730-50-8P 255730-58-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of artemisinin derivs. for use as antitumor agents)

RN 255730-18-8 CAPLUS

CN Thiomorpholine, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255730-33-7 CAPLUS

CN Piperazinium, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-1-methyl-1-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)

Ι÷

RN 255730-47-3 CAPLUS

CN Morpholine, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255730-49-5 CAPLUS

CN 1H-Indole, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 255730-50-8 CAPLUS

CN Isoquinoline, 2-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255730-58-6 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:68459 CAPLUS

DOCUMENT NUMBER:

132:122783

TITLE:

synthesis and antiparasitic activity of artemisinin

derivatives (endoperoxides)

INVENTOR(S):

Haynes, Richard Kingston; Chan, Ho-Wai; Lam, Wai-Lun;

Tsang, Hing-Wo; Cheung, Man-Ki

PATENT ASSIGNEE(S):

The Hong Kong University of Science & Technology,

Peop. Rep. China

SOURCE:

PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.		KIND DATE APPLICATION NO. DATE													
WO						20000127			WO 1999-GB2267				19990714				
	W:													CH,			
														ID,			
														LV,			
														SI,			
		TM,	TR,	TT,	UA,	ŪĠ,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,
		:MD,															
	RW:													CH,			
													SE,	BF,	ВJ,	CF,	CG,
						GW,											
				AA 20000127													
									, A	J 19	99-4	9218		1999	0714		
ΑU	7658	60		B	2	2003	1002										
BR	9912	810		Α		2001	0502		B	R 19	99-1	2810		1999	0714		
EΡ														1999			
	R:	AΤ,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	FI,	RO												
						2002			J	P 20	00-5	6013	-	1999			
						2003					99-5						
														1999			
BG	1051	.37		Α		2001	0831		В	G 20	01-1	0513	7	2001	0110		

20010312 NO 2001000223

NO 2001-223

20010112 19980714

PRIORITY APPLN. INFO .:

EP 1998-305596 WO 1999-GB2267

19990714

OTHER SOURCE(S):

MARPAT 132:122783

Ι

GI

AB Synthesis of C10 substituted derivs. of artemisinin (I) [Y = halogen, (un) substituted cycloalkyl, (un) substituted aryl, (un) substituted C-linked heteroaryl, (un) substituted heterocyclylalkyl, NR1R2; R1 = H, (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl; R2 = (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un) substituted cycloalkyl, (un) substituted aryl, (un) substituted araalkyl; R1R2 together with the N form (un) substituted heterocycle] or a salt thereof is disclosed. Thus, I $(Y = \beta Ph)$ (II) is prepared by reaction of 10-(trimethylsiloxy)dihydroartemisinin with phenylmagnesium bromide and shows good in vitro activity against chloroquinone resistant strains. I are particularly effective in the treatment of malaria, neosporosis and coccidiosis.

IT 255730-17-7P 255730-31-5P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

(synthesis and antiparasitic activity of artemisinin derivs. (endoperoxides))

RN 255730-17-7 CAPLUS

CN Thiomorpholine, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 255730-31-5 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

```
255730-18-8P 255730-33-7P 255730-47-3P
IT
     255730-49-5P 255730-50-8P 255730-58-6P
     255912-96-0P 255912-97-1P 255912-98-2P
     255912-99-3P 255913-00-9P 255913-02-1P
     255913-03-2P 255913-04-3P 255913-05-4P
     255913-06-5P 255913-07-6P 255913-08-7P
     RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
     effector, except adverse); BSU (Biological study, unclassified); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); USES (Uses)
        (synthesis and antiparasitic activity of artemisinin derivs.
        (endoperoxides))
RN
     255730-18-8 CAPLUS
     Thiomorpholine, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-
CN
     trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-,
     1,1-dioxide (9CI) (CA INDEX NAME)
```

RN 255730-33-7 CAPLUS

CN Piperazinium, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-1-methyl-1-(phenylmethyl)-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

• I -

RN 255730-47-3 CAPLUS

CN Morpholine, 4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 255730-49-5 CAPLUS

CN 1H-Indole, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 255730-50-8 CAPLUS

CN Isoquinoline, 2-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

RN 255730-58-6 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255912-96-0 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-phenyl-(9CI) (CA INDEX NAME)

RN 255912-97-1 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(2-methoxyphenyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255912-98-2 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(4-fluorophenyl)-(9CI) (CA INDEX NAME)

RN 255912-99-3 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(2-pyridinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255913-00-9 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 255913-02-1 CAPLUS

CN Pyrimidine, 2-[4-[(3R,5aS,6R,8aS,9R,10S,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255913-03-2 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 255913-04-3 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 255913-05-4 CAPLUS

CN Piperazine, 1-(2-chlorophenyl)-4-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 255913-06-5 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

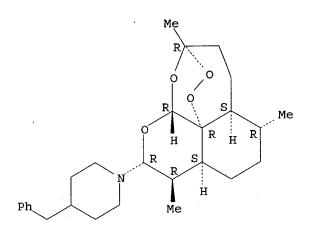
RN 255913-07-6 CAPLUS

CN Piperazine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(2-methylphenyl)-(9CI) (CA INDEX NAME)

RN 255913-08-7 CAPLUS

CN Piperidine, 1-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]-4-(phenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:234337 CAPLUS

DOCUMENT NUMBER:

130:267461

TITLE:

Preparation of artemisin derivative containing phenyl

and heterocyclic radicals

INVENTOR(S):

Li, Yang; Yang, Yonghua; Liang, Jie; Shan, Feng; Wu,

Guangshao

PATENT ASSIGNEE(S):

Shanghai Inst. of Materia Medica, Chinese Academy of

Sciences, Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE 19960522 CN 1122806 CN 1994-113982 19941109 Α 20000216 В CN 1049435 PRIORITY APPLN. INFO .: CN 1994-113982 19941109 CASREACT 130:267461; MARPAT 130:267461 OTHER SOURCE(S): GI

Title artemisin derivs. [I; X = O, NH; R = Ph, R3 substituted Ph, 2 same AΒ or different R3 and R4 substituted Ph, the heterocyclic radical is alkali adenyl, thymine, cytimidine, uracil, and their R3 substituted groups, triazo-, and CONH2 or R3 substituted triazo-; R3 = R4 = hydroxy, alkoxy (C1-C4), alkyl (C1-C4), COOCH3, COOC2H5, NHCOCH3, nitro, halogen (F, Cl, Br, I), dihydrogen artemisin radical] are prepared by reaction of dihydrogen artemisin, dihydrogen artemisin acetate, dihydrogen artemisin trifluoroacetate, and anilines with R3 substituted groups, R3 or R3 and R4 substituted phenols, Ph compound, heterocyclic compound or its silicone ether derivs. in the presence of acidic catalyst, boron trifluoride etherate, SnCl4, TiCl4, trifluoroacetic acid, p-Me benzenesulfonic acid, trimethylsilyl triflate, H2SO4 and H3PO4 and polar solvent, alkyl halide, Et ether, acetonitrile, THF, pyridine, triethylamine, and methyl-sulfoxide at -10° to 40°. Phenylamino artemisin, 3-chloro-phenylamino artemisin, 4-artemisin, 3-nitro-phenoxy artemisin, 4- methoxy-phenoxy artemisin, 4-(methoxycarbonyl)-phenoxy artemisin, 4-acetamino-phenoxy artemisin, tris(artemisin) phloroglucin, 5- hydroxy-1,3-bis(artemisin) benzenediol, adenyl artemisin, 5- fluoro-uracil artemisin, 3-aminocarbonyl triazo artemisin, and 2,4- dimethoxyphenyl artemisin were prepared as antitumor, antiviral, and antiparasitic agents.

IT 221890-88-6P 221890-89-7P 221890-90-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of artemisin derivs. as antibiotics and antitumor agents)

RN 221890-88-6 CAPLUS

ON 9H-Purin-6-amine, 9-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

RN 221890-89-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-fluoro-9-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221890-90-0 CAPLUS

CN 1H-1,2,4-Triazole-3-carboxamide, 9-[(3R,5aS,6R,8aS,9R,10R,12R,12aR)-decahydro-3,6,9-trimethyl-3,12-epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin-10-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{R} \\ \text{O} \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N}$$